

**What is claimed is:**

1. A pharmaceutical composition comprising a psychotropic, neurotropic or neurological drug, or an antibiotic, antibacterial, antimycotic, antiviral, antiproliferative or antineoplastic drug, an amino acid or amino acid derivative specifically transported into a physiologically-protected site, two linker functional groups and a spacer, wherein the spacer has a first end and a second end and wherein the amino acid or amino acid derivative is attached to the first end of the spacer through a first linker functional group and the drug is attached to the second end of the spacer through a second linker functional group.
2. The pharmaceutical composition of Claim 1 wherein the drug is L-dopa, hydroxytryptamine, amantadine, benztropine, bromocryptine, diphenhydramine, levadopa, pergolid, trihexphenidyl, ethosuximide, valproic acid, carbamazepine, 10-hydroxycarbamazepine, 11-hydroxycarbamazepine, primidone, gabapentin, lamotrigine, felbamate, paramethadione, trimethadione, phenothiazine, thioxanthene, clozapine, haldoperidol, loxapine, a benzodiazapene antidepressants of the norepinephrine reuptake inhibitor type, a monoamine oxidase inhibitor, carotene, glutathione, N-acetylcysteine, methotrexate, azidothymidine, dideoxyinosine, dideoxycytosine, acyclovir, or gancyclovir.
3. A pharmaceutical composition according to Claim 1 wherein the spacer allows the drug to act without being released at an intracellular site and wherein the first linker functional group attached to the first end of the spacer is strong and the second linker functional group attached to the second end of the spacer is weak.
4. A pharmaceutical composition according to Claim 1 wherein the spacer allows the facilitated hydrolytic release of the drug at an intracellular site and wherein the first linker functional group attached to the first end of the spacer is strong and the second linker functional group attached to the second end of the spacer is weak.

5. A pharmaceutical composition according to Claim 1 wherein the spacer allows the facilitated enzymatic release of the drug at an intracellular site and wherein the first linker functional group attached to the first end of the spacer is strong and the second linker functional group attached to the second end of the spacer is weak.

6. A pharmaceutical composition according to Claim 1 wherein the amino acid or derivative thereof is 5-hydroxytryptophan, serotonin, or melatonin.

7. A pharmaceutical composition comprising a psychotropic, neurotropic or neurological drug, or an antibiotic, antibacterial, antimycotic, antiviral, antiproliferative or antineoplastic drug, having a first functional linker group, and an amino acid or amino acid derivative specifically transported into a physiologically-protected site, having a second functional linker group, wherein the drug is covalently linked to the amino acid or amino acid derivative by a chemical bond between the first and second functional linker groups.

8. A pharmaceutical composition according to Claim 7 wherein the first functional linker group is a hydroxyl group, a primary or secondary amino group, a phosphate group or substituted derivatives thereof or a carboxylic acid group.

9. A pharmaceutical composition according to Claim 7 wherein the second functional linker group is a hydroxyl group, a primary or secondary amino group, a phosphate group or substituted derivatives thereof or a carboxylic acid group.

10. A pharmaceutical composition according to Claim 7 wherein the amino acid or derivative thereof is 5-hydroxytryptophan, serotonin, or melatonin.

11. The pharmaceutical composition of Claim 7 wherein the drug is L-dopa, hydroxytryptamine, amantadine, benztropine, bromocryptine,

diphenhydramine, levadopa, pergolid, trihexphenidyl, ethosuximide, valproic acid, carbamazepine, 10-hydroxycarbamazepine, 11-hydroxycarbamazepine, primidone, gabapentin, lamotrigine, felbamate, paramethadione, trimethadione, phenothiazine, thioxanthene, clozapine, haldoperidol, loxapine, a benzodiazapene antidepressants  
5 of the norepinephrine reuptake inhibitor type, a monoamine oxidase inhibitor, carotene, glutathione, N-acetylcysteine, methotrexate, azidothymidine, dideoxyinosine, dideoxycytosine, acyclovir, or gancyclovir.

12. A method for treating a pathological condition or disease state in  
10 cells, tissues or organs in an animal, the method comprising the step of administering to the animal a pharmaceutical composition of Claim 1 in an acceptable carrier or formulation and in an amount sufficient to alleviate the pathological condition or disease state in the animal.

13. A method for treating a pathological condition or disease state in an  
15 animal, wherein the pathological condition or disease state is present in a physiologically restricted or protected site in the animal, the method comprising the step of administering to the animal a pharmaceutical composition according to Claim 1 in an acceptable carrier or formulation and in an amount sufficient to  
20 alleviate the pathological condition or disease state in the animal.

14. The method of Claims 12 or 13 wherein the animal is a human.

15. A method for treating a pathological condition or disease state in  
25 cells, tissues or organs in an animal, the method comprising administering to the animal a pharmaceutical composition according to Claim 7 in an acceptable carrier or formulation and in an amount sufficient to alleviate the pathological condition or disease state in the animal.

16. A method for treating a pathological condition or disease state in an  
30 animal, wherein the pathological condition or disease state is present in a physiologically restricted or protected site in the animal, the method comprising

the step of administering to the animal a pharmaceutical composition according to Claim 7 in an acceptable carrier or formulation and in an amount sufficient to alleviate the pathological condition or disease state in the animal.

5           17.     The method of Claims 15 or 16 wherein the animal is a human.

18.     A pharmaceutical composition according to Claims 1 or 7 wherein the spacer is a peptide of formula (amino acid)<sub>n</sub>, wherein n is an integer between 2 and 25, and the peptide comprises a polymer of one or more amino acids.

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19.     A pharmaceutical composition according to Claim 1 comprising L-dopa, hydroxytryptamine, amantadine, benztropine, bromocryptine, diphenhydramine, levodopa, pergolid, trihexphenidyl, ethosuximide, valproic acid, carbamazepine, 10-hydroxycarbamazepine, 11-hydroxycarbamazepine, primidone, 15 gabapentin, lamotrigine, felbamate, paramethadione, trimethadione, phenothiazine, thioxanthene, clozapine, haldoperidol, loxapine, a benzodiazapene antidepressants of the norepinephrine reuptake inhibitor type, a monoamine oxidase inhibitor, carotene, glutathione, N-acetylcysteine, methotrexate, azidothymidine, dideoxyinosine, dideoxycytosine, acyclovir, or gancyclovir.

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20.     The method of Claims 12, 13, 14, 15, 16 or 17, wherein the disease state is a neurological disease.

21.     The method according to Claim 20 wherein the neurological disease 25 is Alzheimer's disease, Parkinson's disease, epilepsy, seizure disorder, migraine or Lennox-Gastaut syndrome.

22.     The method of Claims 12, 13, 14, 15, 16 or 17, wherein the disease state is a neuropathy.

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23.     The method of Claim 22 wherein the neuropathy is trigeminal neuralgia, diabetic neuropathy or shingles.

24. The method of Claims 12, 13, 14, 15, 16 or 17, wherein the disease state is a psychological disorder.

5           25. The method of Claim 24 wherein the psychological disorder is bipolar disorder, explosive aggression, depression or agitation associated with elderly dementia.

26. The method of Claims 12, 13, 14, 15, 16 or 17, wherein the disease  
10 state is a microbial infection.

27. The method of Claim 26, wherein the disease is AIDS, encephalitis, meningitis, or syphilis.

15           28. The method of Claims 12, 13, 14, 15, 16 or 17, wherein the disease state is a malignant or benign tumor or proliferative disease.

29. The method of Claim 28, wherein the disease is neuroblastoma, glioblastoma or astrocytoma.  
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30. The method of Claims 12, 13, 14, 15, 16 or 17, wherein the disease state is present in testes.

31. The method of Claims 12, 13, 14, 15, 16 or 17, wherein the disease  
25 state is present in spleen.

32. The method of Claims 12, 13, 14, 15, 16 or 17, wherein the disease state is present in an eye.

30           33. The method of Claims 12, 13, 14, 15, 16 or 17, wherein the disease state is present in brain, central nervous system or other neurological tissue.